STN - Structure Search
5.23.06

10/613,650

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L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1154157 CAPLUS
DOCUMENT NUMBER: 143:422465
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 1034 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005239054	A1	20051027	US 2003-740694	20031222
WO 2003090690	A2	20031106	WO 2003-US12901	20030425
WO 2003090690	A3	20040624		
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WO 2003091264	A2	20031106	WO 2003-US12926	20030425
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US 2005197320	A1	20050908	US 2003-424130	20030425
US 2005209197	A1	20050922	US 2003-423496	20030425
ZA 2004009376	A	20050914	ZA 2004-9376	20041122
WO 2005064008	A1	20050714	WO 2004-US42991	20041222
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PRIORITY APPLN. INFO.:

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US 2002-375665P	P	20020426
US 2002-375779P	P	20020426
US 2002-375834P	P	20020426
US 2003-423496	A2	20030425
US 2003-424130	A2	20030425
US 2003-424186	A2	20030425
US 2003-465721P	P	20030425
US 2003-465810P	P	20030425
US 2003-465824P	P	20030425
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US 2003-740694	A	20031222

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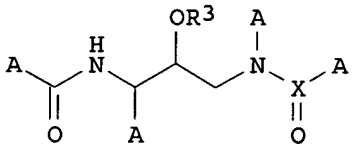

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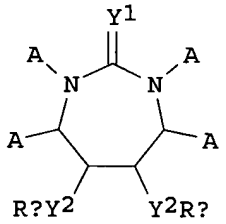

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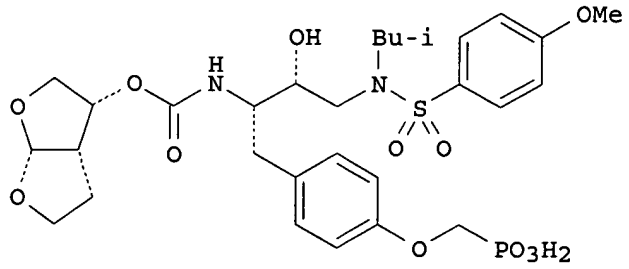
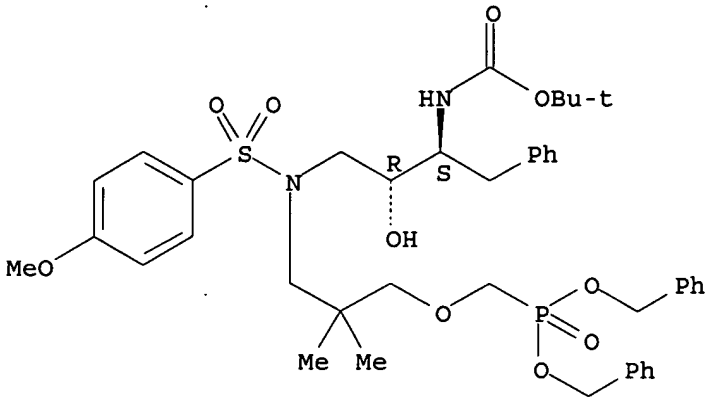


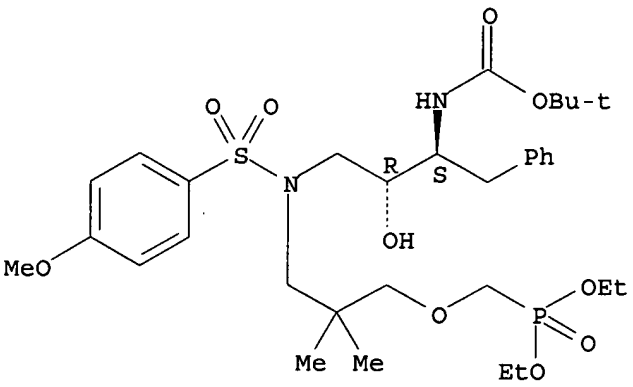
III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl;



RN 622865-50-3 CAPLUS
CN 10,13-Dioxa-2,6-diaza-12-phosphapentadecanoic acid, 12-ethoxy-4-hydroxy-6-[(4-methoxyphenyl)sulfonyl]-8,8-dimethyl-3-(phenylmethyl)-, 1,1-dimethylethyl ester, 12-oxide, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:612479 CAPLUS
DOCUMENT NUMBER: 143:97530
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Dastgah, Azar; Eisenberg, Eugene J.; Fardis, Maria; Hatada, Marcos; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; McDermott, Martin J.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 1723 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005064008	A1	20050714	WO 2004-US42991	20041222
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239054	A1	20051027	US 2003-740694	20031222

PRIORITY APPLN. INFO.:

US 2003-740694	A	20031222
US 2002-375622P	P	20020426
US 2002-375665P	P	20020426
US 2002-375779P	P	20020426
US 2002-375834P	P	20020426
US 2003-423496	A2	20030425
US 2003-424130	A2	20030425
US 2003-424186	A2	20030425
US 2003-465721P	P	20030425
US 2003-465810P	P	20030425
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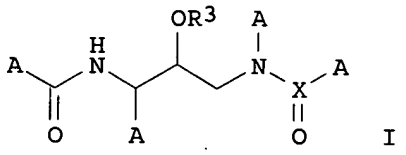

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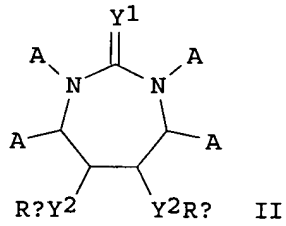

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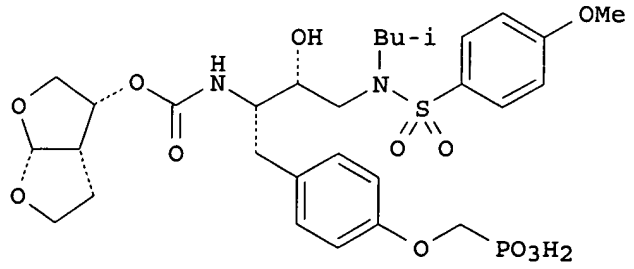
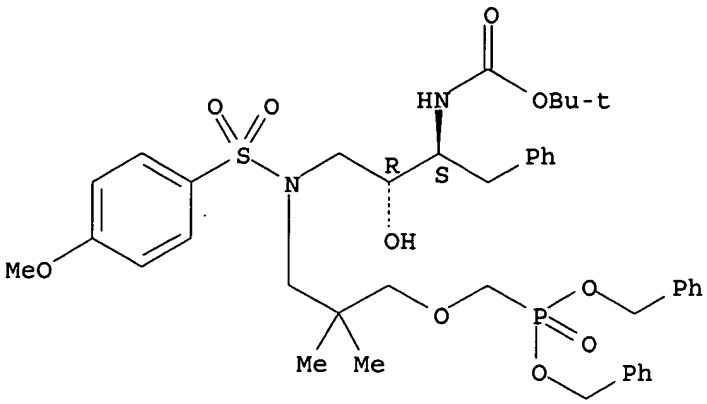


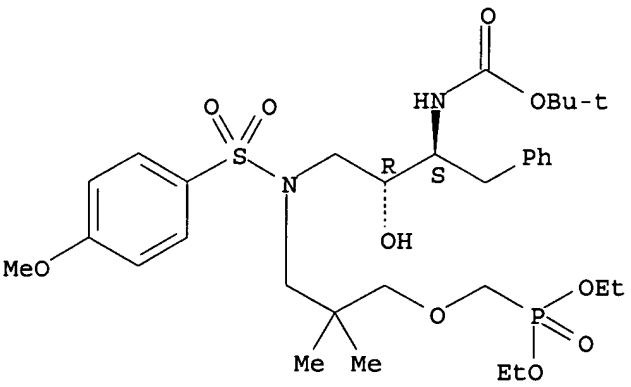
III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 =



RN 622865-50-3 CAPLUS
CN 10,13-Dioxa-2,6-diaza-12-phosphapentadecanoic acid, 12-ethoxy-4-hydroxy-6-[(4-methoxyphenyl)sulfonyl]-8,8-dimethyl-3-(phenylmethyl)-, 1,1-dimethylethyl ester, 12-oxide, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:99287 CAPLUS
DOCUMENT NUMBER: 140:339141
TITLE: Novel arylsulfonamides possessing sub-picomolar HIV protease activities and potent anti-HIV activity against wild-type and drug-resistant viral strains
AUTHOR(S): Miller, John F.; Furfine, Eric S.; Hanlon, Mary H.; Hazen, Richard J.; Ray, John A.; Robinson, Laurence; Samano, Vicente; Spaltenstein, Andrew
CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(4), 959-963
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:339141
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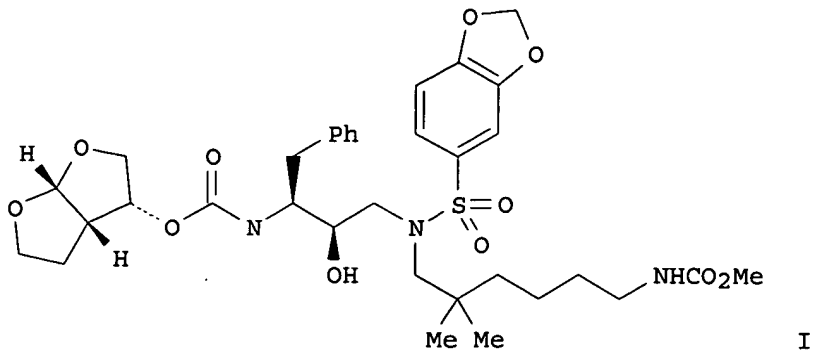


I

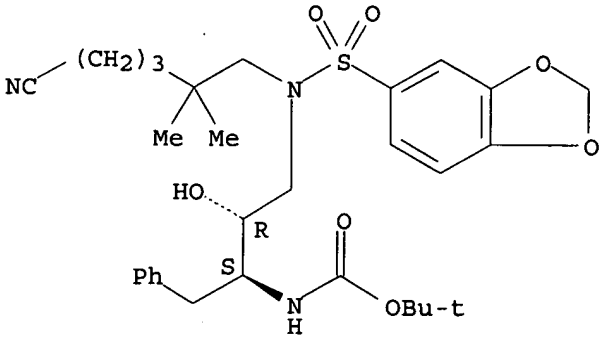
AB Furanofuryl analogs of the HIV protease inhibitor amprenavir such as I are prepared in which a terminally substituted n-alkyl group is appended to the N-iso-Bu group of amprenavir and in which the substituents on the N-arylsulfonyl moiety are varied. Some of the inhibitors such as I are found to have greatly enhanced inhibition of HIV protease; the amprenavir analogs also inhibit the growth of both wild-type and resistant strains of HIV and are more effective against the HIV strains than the currently marketed HIV protease inhibitors amprenavir, indinavir, and nelfinavir. E.g., I inhibits wild-type HIV protease with a Ki value of 0.014 pM, and inhibits wild-type and resistant strains of HIV with IC50 values of between 1.6 nM and 15 nM.

IT **681028-81-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of furanofuryl amprenavir analogs with modifications at the N-arylsulfonyl and N-iso-Bu moieties which show improved HIV protease inhibition and inhibition of wild-type and resistant HIV strains)

RN 681028-81-9 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(5-cyano-2,2-dimethylpentyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:875072 CAPLUS
DOCUMENT NUMBER: 139:381610
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Birkus, Gabriel; Chen, James M.; Chen, Xiaowu; Cihlar,

Tomas; Eisenberg, Eugene J.; Hatada, Marcos; He, Gong-Xin; Kim, Choung U.; Lee, William A.; McDermott, Martin J.; Swaminathan, Sundaramoorthi

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 814 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090691	A2	20031106	WO 2003-US12943	20030425
WO 2003090691	A3	20060209		
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CN 1656109	A	20050817	CN 2003-812478	20030425
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WO 2004096818	A2	20041111	WO 2003-EP12423	20031106
WO 2004096818	A3	20050407		
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US 2005136397	A1	20050623	US 2004-970389	20041022
ZA 2004009376	A	20050914	ZA 2004-9376	20041122
PRIORITY APPLN. INFO.:			US 2002-375622P P	20020426
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			US 2002-375779P P	20020426
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			US 2003-423496 A2	20030425
			US 2003-424130 A2	20030425
			US 2003-424186 A2	20030425
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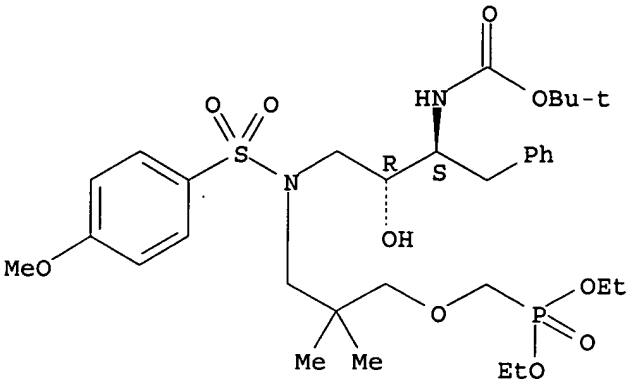
			WO 2003-US12901 A	20030425



L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:875071 CAPLUS
DOCUMENT NUMBER: 139:381609
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors with improved cellular accumulation properties
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Dastgah, Azar; Fardis, Maria; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 1727 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090690	A2	20031106	WO 2003-US12901	20030425
WO 2003090690	A3	20040624		
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CA 2481261	AA	20031106	CA 2003-2481261	20030425
AU 2003231765	A1	20031110	AU 2003-231765	20030425
BR 2003009573	A	20050201	BR 2003-9573	20030425
EP 1509537	A2	20050302	EP 2003-747326	20030425
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CN 1649885	A	20050803	CN 2003-814963	20030425
JP 2005523912	T2	20050811	JP 2003-587329	20030425

CN 1656109	A	20050817	CN 2003-812478		20030425
WO 2004096818	A2	20041111	WO 2003-EP12423		20031106
WO 2004096818	A3	20050407			

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AU 2003291998	A1	20041123	AU 2003-291998		20031106
EP 1620445	A2	20060201	EP 2003-767521		20031106

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US 2005239054	A1	20051027	US 2003-740694		20031222
ZA 2004009376	A	20050914	ZA 2004-9376		20041122
NO 2004005150	A	20050126	NO 2004-5150		20041125
PRIORITY APPLN. INFO.:			US 2002-375622P	P	20020426
			US 2002-375665P	P	20020426
			US 2002-375779P	P	20020426
			US 2002-375834P	P	20020426
			US 2003-423496	A2	20030425
			US 2003-424130	A2	20030425
			US 2003-424186	A2	20030425
			US 2003-465721P	P	20030425
			US 2003-465810P	P	20030425
			US 2003-465824P	P	20030425
			WO 2003-US12901	W	20030425
			WO 2003-US12926	A	20030425
			WO 2003-US12943	A	20030425
			WO 2003-EP12423	W	20031106

OTHER SOURCE(S): MARPAT 139:381609

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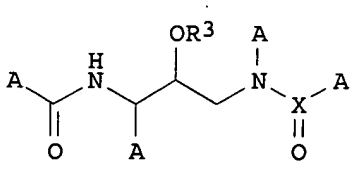

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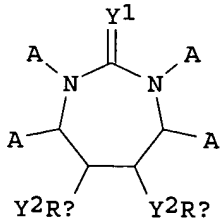

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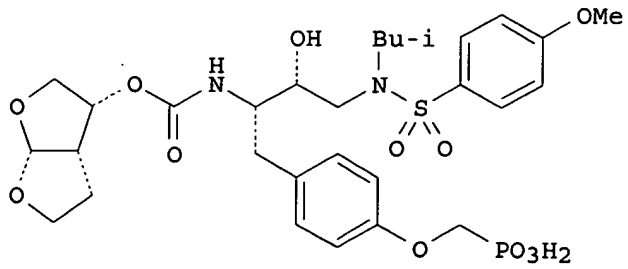
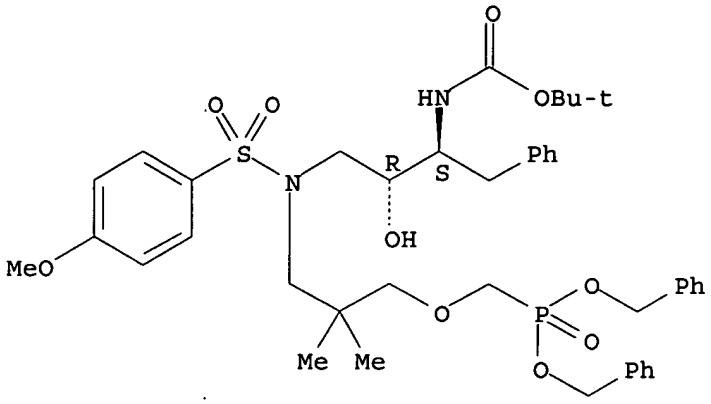


III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of

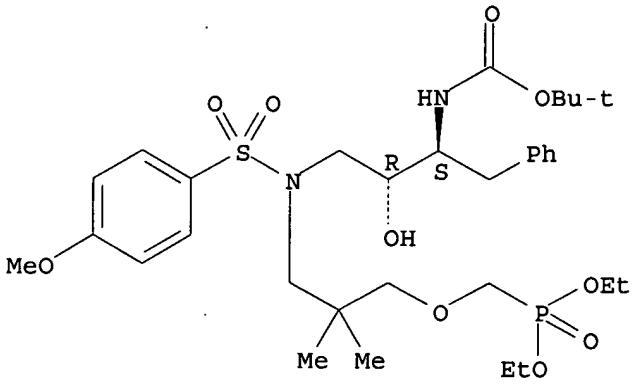
10/613,650

Absolute stereochemistry.



RN 622865-50-3 CAPLUS
CN 10,13-Dioxa-2,6-diaza-12-phosphapentadecanoic acid, 12-ethoxy-4-hydroxy-6-[(4-methoxyphenyl)sulfonyl]-8,8-dimethyl-3-(phenylmethyl)-, 1,1-dimethylethyl ester, 12-oxide, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:814117 CAPLUS
DOCUMENT NUMBER: 137:325410
TITLE: Broad-spectrum 2-(substituted-amino)-benzothiazolesulfonamide HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Getman, Daniel; Verschueren, Wim Gaston; Vendeville, Sandrine; De Bethune, Marie-Pierre; De Kerpel, Jan Octaaf Antoon; Moors, Samuel Leo Christiaan; De Kock, Herman Augustinus; Voets, Marieke Christiane Johanna
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

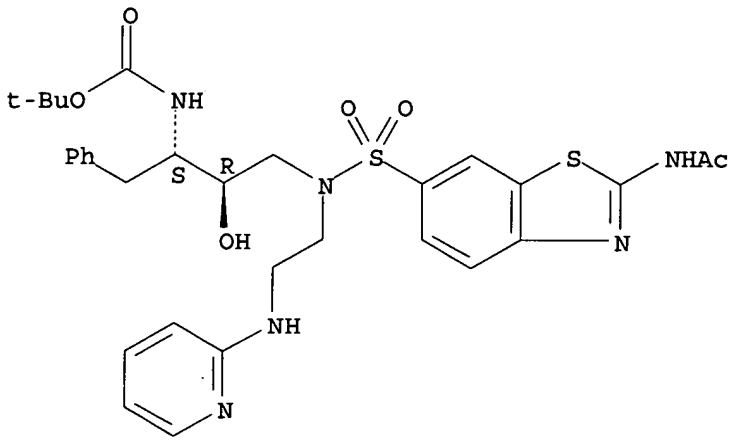
heterocyclyloxyalkyl, aralkyl, aryloxyalkyl, (un)substituted aminoalkyl; R5NAR6 = heterocyclic] their N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, esters and metabolites were prepared I are useful as broad-spectrum HIV protease inhibitors, and may be formulated in diagnostic kits. Thus, the sulfonamide II, prepared in several steps from the benzothiazolecarbamate, showed activity against a number of resistant mutants of HIV-1 strain LAI.

IT **473739-04-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(broad-spectrum 2-aminobenzothiazolesulfonamide HIV protease inhibitors)

RN 473739-04-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[2-(acetylamino)-6-benzothiazolyl]sulfonyl][2-(2-pyridinylamino)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:900607 CAPLUS
DOCUMENT NUMBER: 134:56676
TITLE: Preparation of arylsulfonamides as inhibitors of aspartyl protease
INVENTOR(S): Hale, Michael Robin; Tung, Roger; Price, Stephen; Wilkes, Robin David; Schairer, Wayne Carl; Jarvis, Ashley Nicholas; Spaltenstein, Andrew; Furfine, Eric Steven; Samano, Vicente; Kaldor, Istvan; Miller, John Franklin; Brieger, Michael Stephen
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; et al.
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076961	A1	20001221	WO 2000-US15781	20000608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,

SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2380858	AA	20001221	CA 2000-2380858		20000608
BR 2000011745	A	20020319	BR 2000-11745		20000608
EP 1194404	A1	20020410	EP 2000-941279		20000608
EP 1194404	B1	20060503			

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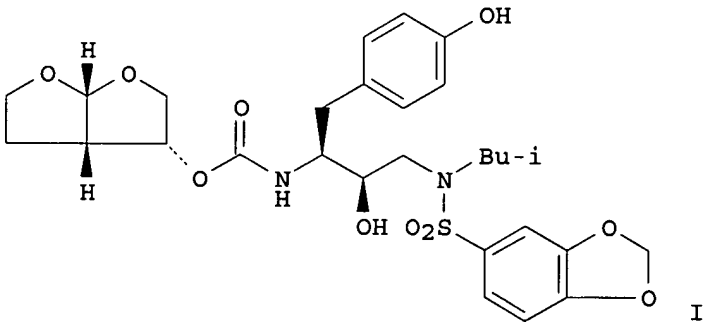
TR 200200407	T2	20020821	TR 2002-200200407		20000608
JP 2003502309	T2	20030121	JP 2001-503821		20000608
TR 200202528	T2	20030221	TR 2002-200202528		20000608
NZ 516003	A	20040227	NZ 2000-516003		20000608
TW 593248	B	20040621	TW 2000-89111145		20000608
AU 779994	B2	20050224	AU 2000-56006		20000608
US 6878728	B1	20050412	US 2000-591464		20000609
NO 2001006034	A	20020118	NO 2001-6034		20011210
ZA 2001010177	A	20030113	ZA 2001-10177		20011211
US 2004122000	A1	20040624	US 2003-691333		20031021
PRIORITY APPLN. INFO.:			US 1999-139070P	P	19990611
			US 2000-190211P	P	20000317
			WO 2000-US15781	W	20000608
			US 2000-591464	A3	20000609

OTHER SOURCE(S): MARPAT 134:56676

GI

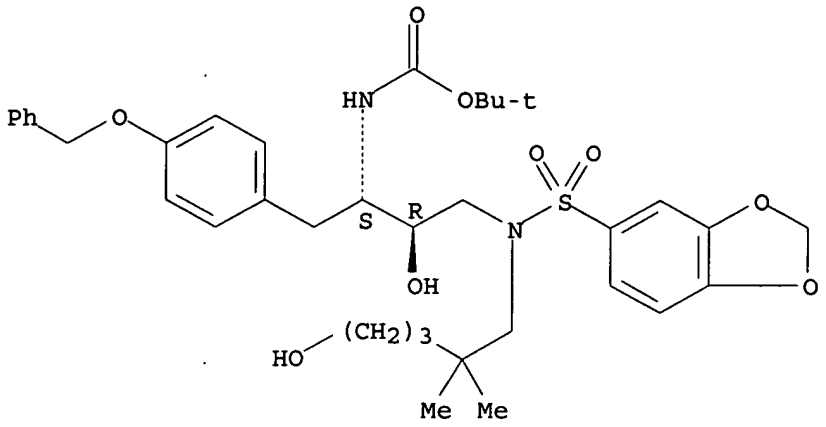


I

AB The title arylsulfonamides, namely (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl 3-arylsulfonylamino-1-(4-hydroxyphenyl)-2-hydroxypropylcarbamate derivs. (e.g. I) are prepared These compds. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. They are useful for treating with a patient diagnosed with AIDS, AIDS related complex (ARC), progressive generalized lymphadenopathy (PGL), Kaposi's sarcoma, thrombocytopenic purpura, or AIDS-related neurol. conditions such as AIDS dementia complex, multiple sclerosis or tropical paraperesis, etc. Thus, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl 3-[N-(1,3-benzodioxol-5-ylsulfonyl)-N-isobutylamino]-1-(4-hydroxyphenyl)-2-hydroxypropylcarbamate underwent Mitsunobu reaction with phenethyl alc. using Ph3P and di-tert-Bu azodicarbonate in CH2Cl2 at room temperature for 1.5 h to give 72% I. I showed IC50 of <0.001, <0.001, and 0.01-0.001 μM against drug-resistant HIV strains, i.e. wild type, mutant HIV-1 EP13, and mutant D545701-14 HIV strains, resp., in MT-4 cells.

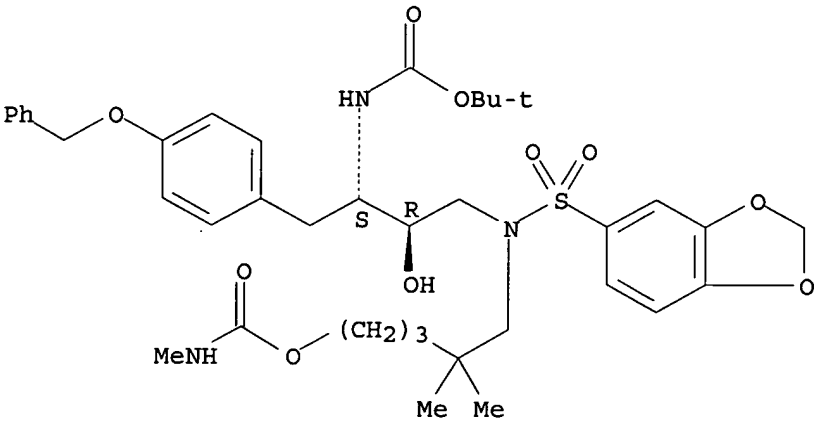
IT **313683-12-4P 313683-13-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological



RN 313681-96-8 CAPLUS
CN 4-Oxa-2,10,14-triazapentadecan-15-oic acid, 10-(1,3-benzodioxol-5-ylsulfonyl)-12-hydroxy-8,8-dimethyl-3-oxo-13-[[4-(phenylmethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (12R,13S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Inventor?

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:573770 CAPLUS
DOCUMENT NUMBER: 133:177157
TITLE: Preparation of [1-benzyl-2-hydroxy-3-(arylsulfonamido)propyl]carbamates as HIV aspartyl protease inhibitors
INVENTOR(S): Hale, Michael R.; Baker, Christopher T.; Stammers, Timothy A.; Sherrill, Ronald G.; Spaltenstein, Andrew; Furfine, Eric S.; Maltais, Francois; Andrews, Clarence Webster, III; Miller, John F.; Samano, Vicente
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047551	A2	20000817	WO 2000-US3288	20000209
WO 2000047551	A3	20010816		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6319946	B1	20011120	US 2000-500781	20000209
EP 1159278	A2	20011205	EP 2000-913402	20000209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536430	T2	20021029	JP 2000-598472	20000209
AT 311391	E	20051215	AT 2000-913402	20000209
EP 1637518	A2	20060322	EP 2005-25977	20000209
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US 2002198388	A1	20021226	US 2001-927271	20010809
US 6617350	B2	20030909		
US 2004127488	A1	20040701	US 2003-613650	20030702

PRIORITY APPLN. INFO.:	US 1999-120047P	P	19990212
	SY 2000-1090	A	20000207
	EP 2000-913402	A3	20000209
	US 2000-500781	A3	20000209
	WO 2000-US3288	W	20000209
	US 2001-927271	A3	20010809

OTHER SOURCE(S): MARPAT 133:177157

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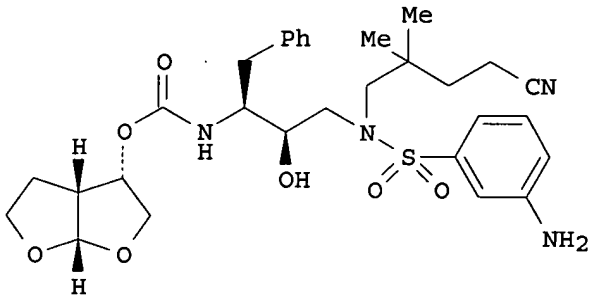
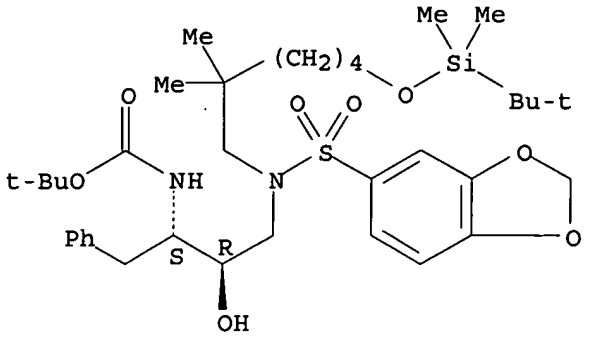


I

AB ABxN(Gx)CH(D)CH(OR7)CH2ND'E'E [wherein A = H, or (un)substituted Ht, R1Ht, or R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, or (un)substituted aryl or heterocyclyl; R1 = CO(CO), (O)SO2, O2C, or (un)substituted NHSO2 or NHCO(CO); B = (un)substituted NHCH2CO; x = 0 or 1; G = H, R7, alkyl; or G may be bound to R7 to form a heterocyclic ring; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x; etc.; M = H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O or S; Y = P or S; Z = H, O, S, or (un)substituted NH2; D = independently Q or (un)subsititued (cyclo)alkyl or (cyclo)alkenyl; Q = (un)subsititued carbocylyl or heterocyclyl; D' = (un)substituted alkyl, alkenyl, alkynyl; E = Ht, OHt, HtHt, alkoxy, (un)substituted NH2, alkyl, or carbocyclyl; E' = CO or SO2] were prepared as antiviral agents against HIV-1 and HIV-2 viruses. Thus, 3-NO2C6H4SO2Cl was added to tert-Bu (1S,2R)-N-[1-benzyl-3-[(4-cyano-2,2-dimethylbutyl)amino]-2-hydroxypropyl]carbamate (preparation given) to form the 3-



L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:304314 CAPLUS
DOCUMENT NUMBER: 132:322147
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
PATENT ASSIGNEE(S): G.D.Searle and Co., USA
SOURCE: U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060476	A	20000509	US 1994-204827	19940302
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
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WO 9506030	A1	19950302	WO 1994-US9139	19940823
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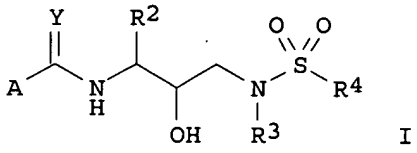
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
US 5968942	A	19991019	US 1994-294468	19940823
US 6455581	B1	20020924	US 1995-451090	19950525
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305

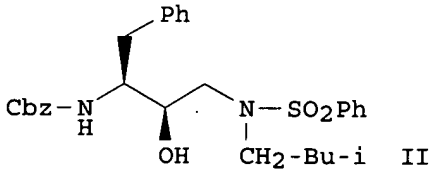
US 6417387	B2	20020709			
US 2003191319	A1	20031009	US 2002-157019		20020530
US 6646010	B2	20031111			
US 2004044047	A1	20040304	US 2002-199481		20020722
US 6846954	B2	20050125			
US 6924286	B1	20050802	US 2003-633376		20030804
US 2004229922	A1	20041118	US 2004-812343		20040330
US 2005267171	A1	20051201	US 2005-110943		20050421
PRIORITY APPLN. INFO.:			US 1992-934984	B2	19920825
			WO 1993-US7814	A2	19930824
			EP 1993-923714	A3	19930824
			US 1993-110911	A	19930824
			US 1994-204827	A	19940302
			US 1994-294468	A1	19940823
			WO 1994-US9139	W	19940823
			US 1995-451090	A3	19950525
			US 1999-288080	A1	19990408
			US 2001-798255	A1	20010305
			US 2002-157019	A1	20020530
			US 2002-199481	A3	20020722
			US 2003-633376	A1	20030804

OTHER SOURCE(S): MARPAT 132:322147

GI



I



II

AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepared as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared and assayed for HIV inhibitory activity (IC50 = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC50, EC50 and TD50 values at the nanomolar level are tabulated).

IT **169281-15-6P 169281-16-7P**

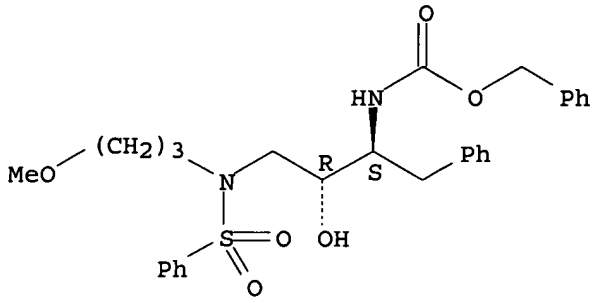
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

RN 169281-15-6 CAPLUS

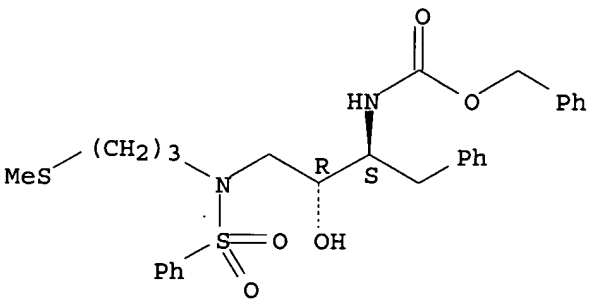
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(3-methoxypropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169281-16-7 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[3-(methylthio)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:220728 CAPLUS
DOCUMENT NUMBER: 132:265504
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6046190	A	20000404	US 1996-586866	19960124
WO 9404492	A1	19940303	WO 1993-US7814	19930824

W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,

KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

EP 810209 A2 19971203 EP 1997-113434 19930824
EP 810209 A3 19981202
EP 810209 B1 20020605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
WO 9506030 A1 19950302 WO 1994-US9139 19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 1992-934984 B2 19920825
WO 1993-US7814 A2 19930824
US 1994-204872 B2 19940302
WO 1994-US9139 W 19940823
EP 1993-923714 A3 19930824
US 1993-110911 A 19930824
US 1994-204827 A 19940302

OTHER SOURCE(S): MARPAT 132:265504

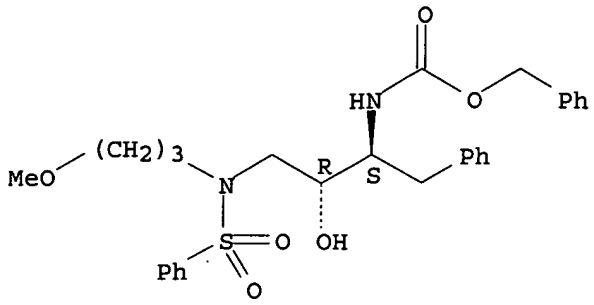
AB Hydroxyethylamino sulfonamide compds. R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)saturated heterocycle, (un)substituted aromatic heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepared and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

IT **169281-15-6P 169281-16-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 169281-15-6 CAPLUS

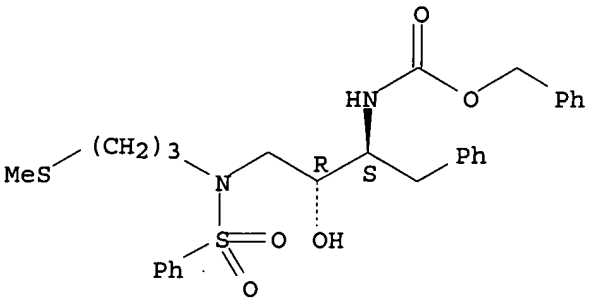
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(3-methoxypropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169281-16-7 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[3-(methylthio)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:670116 CAPLUS
DOCUMENT NUMBER: 131:295568
TITLE: α- and β-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968942	A	19991019	US 1994-294468	19940823
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824

EP 810209	A3	19981202			
EP 810209	B1	20020605			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
US 6060476	A	20000509	US 1994-204827		19940302
US 6248775	B1	20010619	US 1999-288080		19990408
US 2002052399	A1	20020502	US 2001-798255		20010305
US 6417387	B2	20020709			
US 2003191319	A1	20031009	US 2002-157019		20020530
US 6646010	B2	20031111			
US 6924286	B1	20050802	US 2003-633376		20030804
US 2005267171	A1	20051201	US 2005-110943		20050421
PRIORITY APPLN. INFO.:			US 1992-934984	B2	19920825
			WO 1993-US7814	A2	19930824
			US 1994-204827	A2	19940302
			EP 1993-923714	A3	19930824
			US 1993-110911	A2	19930824
			US 1994-294468	A1	19940823
			US 1999-288080	A1	19990408
			US 2001-798255	A1	20010305
			US 2002-157019	A1	20020530
			US 2003-633376	A1	20030804

OTHER SOURCE(S): MARPAT 131:295568

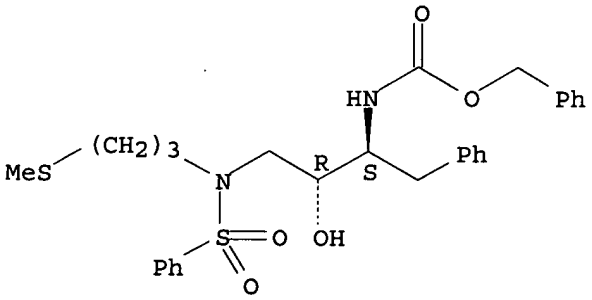
AB α- And β-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

IT **169281-16-7P 247047-39-8P 247047-40-1P**
247047-42-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 169281-16-7 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[3-(methylthio)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

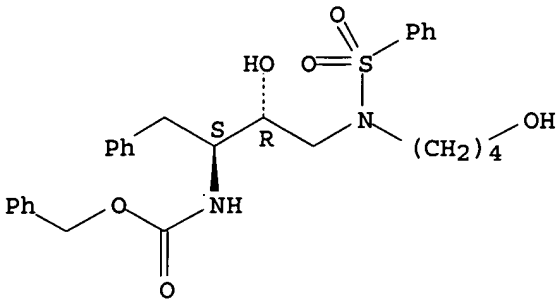
Absolute stereochemistry.



RN 247047-39-8 CAPLUS

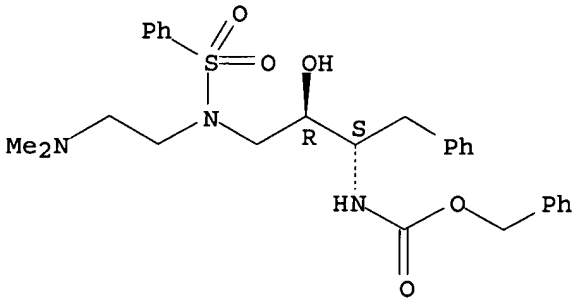
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(4-hydroxybutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



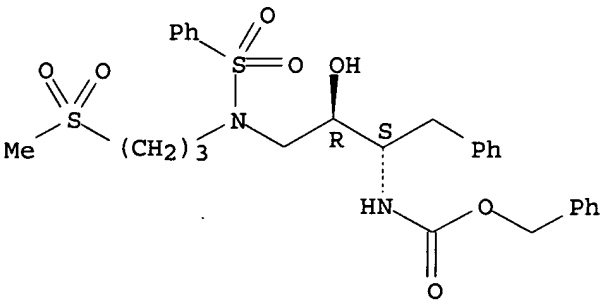
RN 247047-40-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247047-42-3 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[3-(methylsulfonyl)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:799692 CAPLUS
DOCUMENT NUMBER: 130:38712
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

10/613,650

INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843946	A	19981201	US 1993-110911	19930824
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 5786483	A	19980728	US 1995-487662	19950607
US 5830897	A	19981103	US 1995-473698	19950607
US 6172082	B1	20010109	US 1995-476788	19950607
US 5744481	A	19980428	US 1997-845392	19970425
US 6248775	B1	20010619	US 1999-288080	19990408
US 6335460	B1	20020101	US 2000-510189	20000222
US 6472407	B1	20021029	US 2000-511005	20000222
US 6534493	B1	20030318	US 2000-694785	20001024
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804

PRIORITY APPLN. INFO.:

US 1992-934984	B2	19920825
EP 1993-923714	A3	19930824
US 1993-110911	A	19930824
WO 1993-US7814	A2	19930824
US 1994-204827	A	19940302
US 1994-294468	A1	19940823
WO 1994-US9139	W	19940823
US 1995-476788	A1	19950607
US 1995-485524	B1	19950607
US 1999-288080	A1	19990408
US 2001-798255	A1	20010305
US 2002-157019	A1	20020530

OTHER SOURCE(S): MARPAT 130:38712

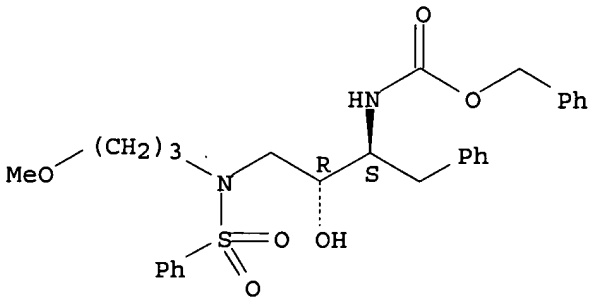
AB Amino acid hydroxyethylamino sulfonamide compds. P1NHCHR2CH(OH)CH2NR3SO2R4 [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl, heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl] were preparation as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1S-(phenylmethyl)propylamine. Protease inhibitory data are tabulated.

IT **169281-15-6P 169281-16-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 169281-15-6 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(3-methoxypropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

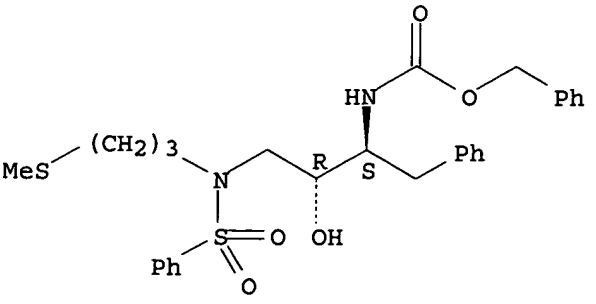
Absolute stereochemistry.



RN 169281-16-7 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[3-(methylthio)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:157421 CAPLUS
DOCUMENT NUMBER: 128:204795
TITLE: Preparation of THF-containing sulfonamides as inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 393,460, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723490	A	19980303	US 1995-424819	19950419
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5783701	A	19980721	US 1995-393460	19950223
CA 2217737	AA	19961024	CA 1996-2217737	19960418
WO 9633184	A1	19961024	WO 1996-US5475	19960418
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
AU 9655596	A1	19961107	AU 1996-55596	19960418
AU 706732	B2	19990624		
CN 1181755	A	19980513	CN 1996-193364	19960418
EP 846110	A1	19980610	EP 1996-912942	19960418
EP 846110	B1	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10509739	T2	19980922	JP 1996-531954	19960418
JP 3046357	B2	20000529		
BR 9608032	A	19990112	BR 1996-8032	19960418
NZ 306903	A	20000228	NZ 1996-306903	19960418
AP 950	A	20010328	AP 1997-1119	19960418
W: LS, MW, KE, UG, SD, SZ				
AT 222761	E	20020915	AT 1996-912942	19960418
CZ 291054	B6	20021211	CZ 1997-3293	19960418
PT 846110	T	20021231	PT 1996-912942	19960418
ES 2181882	T3	20030301	ES 1996-912942	19960418
EE 4307	B1	20040615	EE 1997-266	19960418
RO 119302	B1	20040730	RO 1997-1926	19960418
SK 284785	B6	20051103	SK 1997-1431	19960418
NO 9704722	A	19971013	NO 1997-4722	19971013
NO 317734	B1	20041213		
BG 63677	B1	20020930	BG 1997-102048	19971117

PRIORITY APPLN. INFO.:		
US 1992-941982	B2	19920908
US 1993-142327	A2	19931124
US 1995-393460	B2	19950223
EP 1993-921428	A3	19930907
WO 1993-US8458	W	19930907

US 1995-424819 A 19950419
WO 1996-US5475 W 19960418

OTHER SOURCE(S): MARPAT 128:204795
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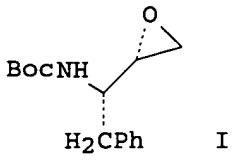


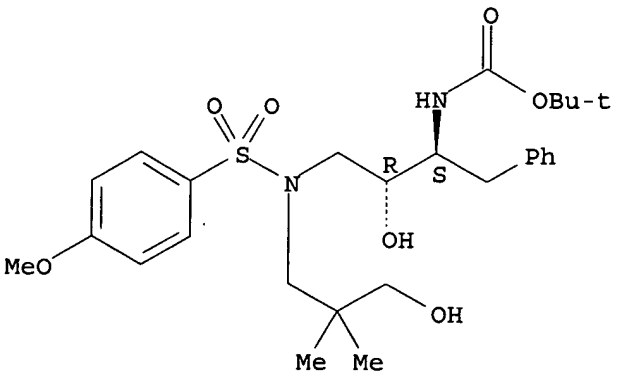
I

AB THF-containing sulfonamides (THF)R1NHCHDCH(OH)CH2ND'SO2E [I, R1 = CO, SO2, COCO, etc.; D, D' = aryl, carbocyclyl, heterocyclyl, alkyl, alkenyl; E = alkenyl, Het, O(Het), (Het)(Het), etc. with Het = carbocyclyl, aryl, heterocyclyl], which are aspartyl protease inhibitors, were prepared. E.g., epoxide II was treated with isobutylamine, 4-FC6H4SO2Cl, then deprotected and treated with N-succinimidyl-(S)-3-tetrahydrofuranyl carbonate to give a THF-containing sulfonamide. I are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses.

IT **184357-39-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

RN 184357-39-9 CAPLUS
CN Carbamic acid, [2-hydroxy-3-[(3-hydroxy-2,2-dimethylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:5844 CAPLUS
DOCUMENT NUMBER: 126:31265
TITLE: Preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection.
INVENTOR(S): Tung, Roger D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 105 pp.

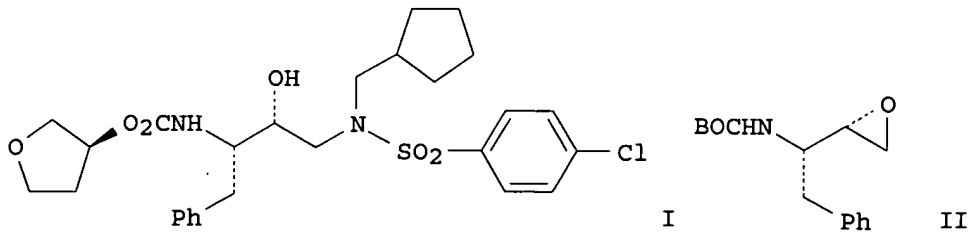
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633184	A1	19961024	WO 1996-US5475	19960418
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5723490	A	19980303	US 1995-424819	19950419
AU 9655596	A1	19961107	AU 1996-55596	19960418
AU 706732	B2	19990624		
EP 846110	A1	19980610	EP 1996-912942	19960418
EP 846110	B1	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10509739	T2	19980922	JP 1996-531954	19960418
JP 3046357	B2	20000529		
BR 9608032	A	19990112	BR 1996-8032	19960418
NZ 306903	A	20000228	NZ 1996-306903	19960418
AT 222761	E	20020915	AT 1996-912942	19960418
EE 4307	B1	20040615	EE 1997-266	19960418
RO 119302	B1	20040730	RO 1997-1926	19960418
SK 284785	B6	20051103	SK 1997-1431	19960418
NO 9704722	A	19971013	NO 1997-4722	19971013
NO 317734	B1	20041213		
BG 63677	B1	20020930	BG 1997-102048	19971117

PRIORITY APPLN. INFO.:

US 1995-424819	A	19950419
US 1992-941982	B2	19920908
US 1993-142327	A2	19931124
US 1995-393460	B2	19950223
WO 1996-US5475	W	19960418

OTHER SOURCE(S): MARPAT 126:31265
GI

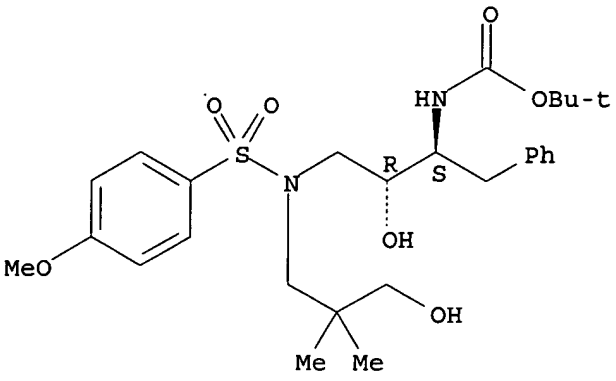


AB R1QNHCHR2CH(OH)CH2NR3SO2E [R1 = tetrahydrofuryl; Q = CO, SO2, COCO, O2C, OSO2, iminosulfonyl, aminocarbonyl, etc.; R2, R3 = (substituted) alkyl, alkenyl, carbocyclyl, cycloalkenyl, aryl, heterocyclyl; E = (substituted) heterocyclyl, carbocyclyl, aryl, heterocyclyloxy, carbocyclyloxy, aryloxy, amino, alkoxy, alkenyloxy, etc.], were prepared Thus, title compound (I), prepared from epoxide (II), showed Ki <0.1 nM against HIV-1 protease.

IT **184357-39-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl

protease for treatment of HIV infection)
RN 184357-39-9 CAPLUS
CN Carbamic acid, [2-hydroxy-3-[(3-hydroxy-2,2-dimethylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:871984 CAPLUS
DOCUMENT NUMBER: 123:279761
TITLE: Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506030	A1	19950302	WO 1994-US9139	19940823
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RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843946	A	19981201	US 1993-110911	19930824
US 6060476	A	20000509	US 1994-204827	19940302
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6046190	A	20000404	US 1996-586866	19960124

PRIORITY APPLN. INFO.:
US 1993-110911 A 19930824
US 1994-204827 A 19940302
US 1992-934984 B2 19920825
WO 1993-US7814 A2 19930824
US 1994-204872 B2 19940302
WO 1994-US9139 W 19940823

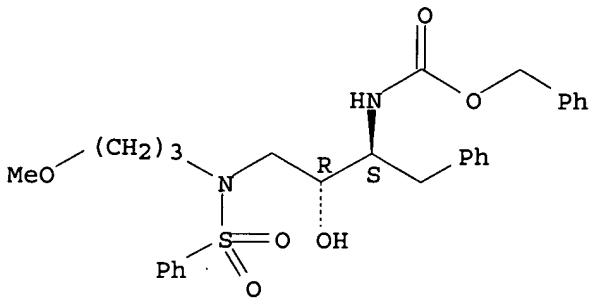
OTHER SOURCE(S): MARPAT 123:279761
AB Hyroxethylamino sulfonamide compds. AC(:Y)NR6CHR2CHOHCH2NR3S(:O)xR4 [I:

R2=(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3=H; R3,R4=R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkyalkyl; R6=H, alkyl; x=1,2; Y=O, S; A=RO, R; R=alkyl, alkenyl; (hetero)aryl, cycloalkyl, cycloalkylalkyl, aralkyl, NH2, mono- or disubstituted amino, etc.] are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease assays with these compds. revealed inhibitors with IC50's as low as 1.4 nM, e.g. [1S-[1R*(S*),2S*]]-I (A=p-MeOC6H4CH2OCONHCH2CHMe; Y=O; R6=H; R2=benzyl; R3=3-methylbutyl; x=2; R4=phenyl).

IT **169281-15-6P 169281-16-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

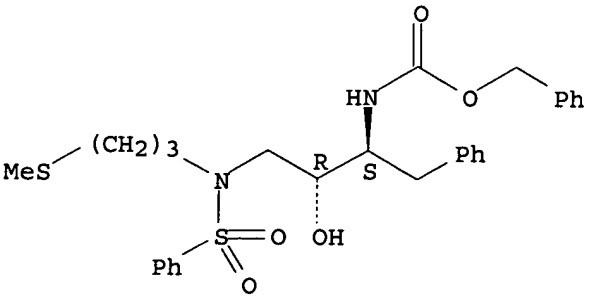
RN 169281-15-6 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(3-methoxypropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169281-16-7 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[3-(methylthio)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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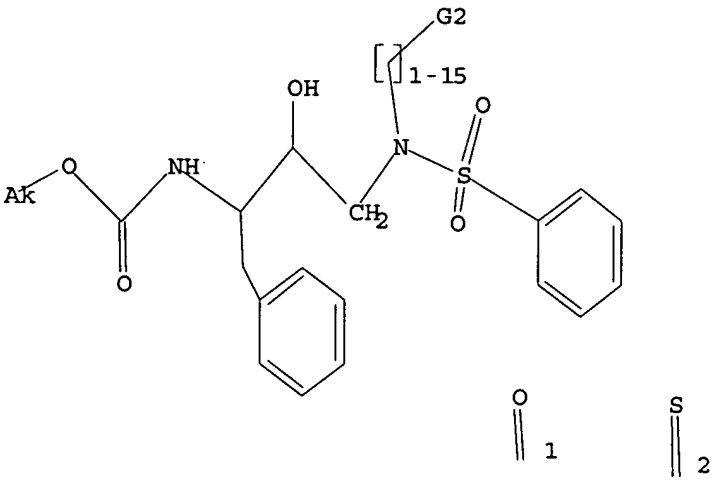
L1 STR



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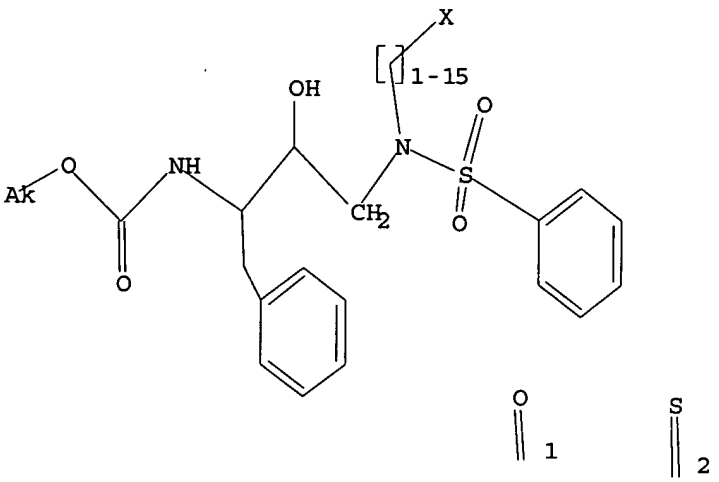


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G1 C,S
G2 O,S,N,P,CN,[@1],[@2]

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